

10/799,376

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FILE 'CAPLUS' ENTERED AT 10:27:53 ON 07 APR 2005

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FILE COVERS 1907 - 7 Apr 2005 VOL 142 ISS 15

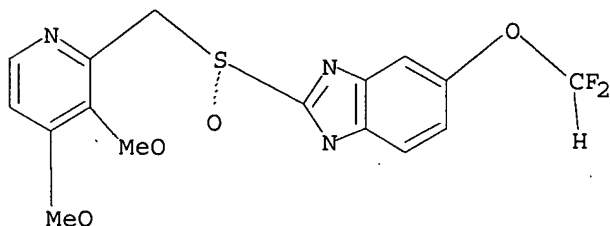
FILE LAST UPDATED: 6 Apr 2005 (20050406/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1

STR



Structure attributes must be viewed using STN Express query preparation.

L3 97 SEA FILE=REGISTRY SSS FUL L1

L4 627 SEA FILE=CAPLUS L3

L5 13 SEA FILE=CAPLUS L4 AND CRYSTAL?

=> d l5 1-13 fbib abs hitstr

L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:99328 CAPLUS

DN 142:183479

TI Immediate-release formulation of acid-labile drugs

IN Phillips, Jeffrey O.; Widder, Ken J.

PA The Curators of the University of Missouri, USA; Santarus, Inc.

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005009381	A2	20050203	WO 2004-US23558	20040722
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

10/799,376

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

US 2003-489363P P 20030723

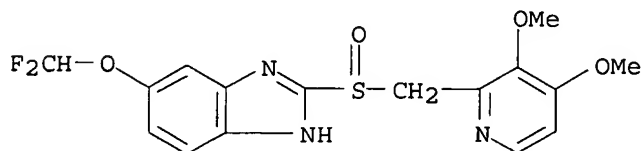
AB The present invention provides, inter alia, compns. comprising a pH
buffering agent and a controlled-release component containing an acid-labile
pharmaceutical. Methods of using such compns. are also provided.
Microgranules of omeprazole were coated with Eudragit L30D-55.

IT 102625-70-7, Pantoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release formulation of acid-labile drugs)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1016027 CAPLUS

DN 142:23317

TI Preparation of 1,3,4-benzotriazepin-2-ones as CCK2 (gastrin) receptor
antagonists for the treatment of gastrointestinal disorders

IN Abdel-Magid, Ahmed F.; Cohen, Judith H.

PA Johnson & Johnson, USA

SO PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101533	A1	20041125	WO 2004-US12914	20040427
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2005026911

A1

20050203

US 2003-469659P

P 20030512

US 2004-833232

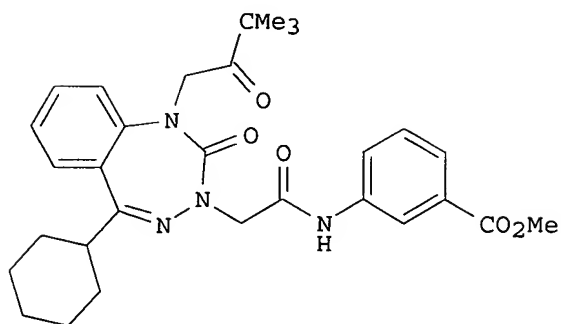
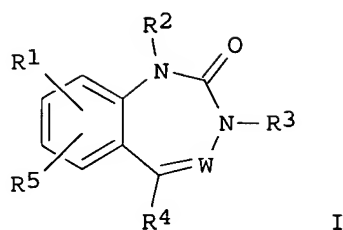
20040427

US 2003-469659P

P 20030512

OS MARPAT 142:23317

GI



AB 1,3,4-Benzotriazepinones or 1,3,4-benzotriazepin-2-one N-oxides I [R1, R5 = H, alkyl, alkoxy, alkylthio, HO2C, OHC, alkylcarbonyl, alkoxy carbonyl, O2N, F3C, etc.; R2, R4 = H, (un)substituted alkyl with up to three substitutions of carbon atoms for N, O, or S; R3 = (CR11R12)mX(CR13R14)pR9; R9 = H, (un)substituted alkyl, Ph, naphthyl, pyridinyl, benzimidazolyl, indazolyl, quinolinyl, isoquinolinyl, tetrahydroisoquinolinyl, etc.; R11, R12, R13, R14 = H, alkyl; W = N or N(:O); m = 0-4; p = 0-2] such as II are prepared as gastrin (CCK2) receptor antagonists for the treatment of gastrointestinal disorders. E.g., condensation of cyclohexyl (2-aminophenyl) ketone and Et hydrazinoacetate hydrochloride, cyclocondensation with triphosgene, N-alkylation with 1-bromo-3,3-dimethyl-2-butanone, hydrolysis with sodium hydroxide and acidification, and EDC/HOBt-mediated amidation with Me 3-aminobenzoate yields II. Data for the inhibition of gastrin receptors in rat stomach are given for most of the example compds.; data for competition expts. with human gastrin expts. are given for some of the example compds. E.g., II inhibits gastrin receptors in rat stomach with a pKb value of 8.55 ± 0.32 . **Crystal** structures of the sodium, potassium, choline, and tert-butylamine salts of one of the invention compds. are determined

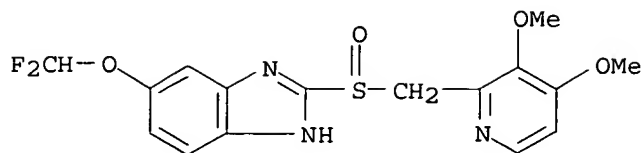
IT 102625-70-7, Pantoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of 1,3,4-benzotriazepin-2-ones as CCK2 (gastrin) receptor antagonists for the treatment of gastrointestinal disorders)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1015879 CAPLUS
DN 142:11550
TI New solid-state forms of pantoprazole sodium aqua complexes
IN Filic, Darko; Hulita, Nada Kosutic; Danilovski, Aleksandar; Dumic,
Miljenko; Siljkovic, Zvonimir; Ceric, Helena; Zegarac, Miroslav
PA Pliva-Istrazivacki Institut D.O.O., Croatia
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004100949	A2	20041125	WO 2004-IB1590	20040517
	WO 2004100949	A3	20050127		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2005004172	A1	20050106	US 2003-472034P	P	20030519
			US 2004-847900		20040517
			US 2003-472034P	P	20030519

AB The present invention relates to novel solid-state forms of pantoprazole sodium aqua complexes, and to processes for their preparation. The invention is also directed to pharmaceutical compns. containing the solid-state forms, and the methods of inhibiting gastric acid secretion and protecting the stomach and intestines of a patient in need of such treatment using the solid-state forms. For example, 5.0 g of pantoprazole sodium was dissolved in 190 mL of Bu acetate and 2.5 mL of water was added. After cooling to room temperature, the solution was filtered and then stirred for 5 h at the same temperature. The obtained suspension was filtered, separated, and the separated

crystals were washed with Bu acetate and dried at 60° under vacuum to yield 4.6 g of Form N solvent-free hexacoordinated octahedral pantoprazole sodium aqua complex. The solid-state Form N complex has characteristic x-ray powder diffraction peaks.

IT 138786-67-1, Pantoprazole sodium

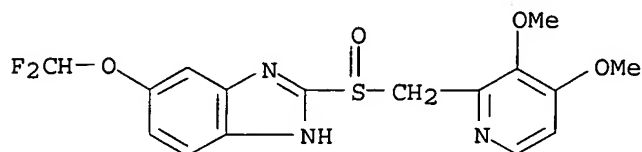
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)

(preparation of solid-state forms of pantoprazole sodium aqua complexes for inhibition of gastric acid)

10/799,376

RN 138786-67-1 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[{(3,4-dimethoxy-2-pyridinyl)methyl}sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

IT 102625-70-7D, Pantoprazole, sodium aqua complexes

797789-87-8 797789-89-0 797789-90-3

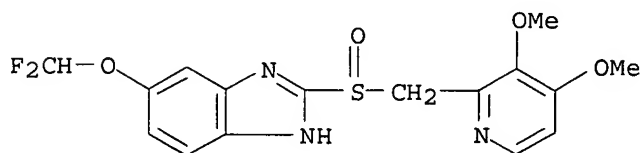
797789-91-4 797789-92-5

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(preparation of solid-state forms of pantoprazole sodium aqua complexes for inhibition of gastric acid)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[{(3,4-dimethoxy-2-pyridinyl)methyl}sulfinyl]- (9CI) (CA INDEX NAME)



RN 797789-87-8 CAPLUS

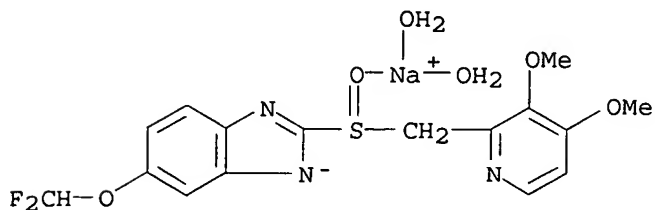
CN Sodium, diaqua[5-(difluoromethoxy)-2-[[{(3,4-dimethoxy-2-pyridinyl)methyl}sulfinyl-κO]benzimidazolato]-, compd. with 2-propanone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 797789-86-7

CMF C16 H18 F2 N3 Na O6 S

CCI CCS

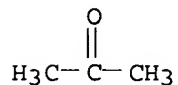


10/799,376

CM 2

CRN 67-64-1

CMF C3 H6 O



RN 797789-89-0 CAPLUS

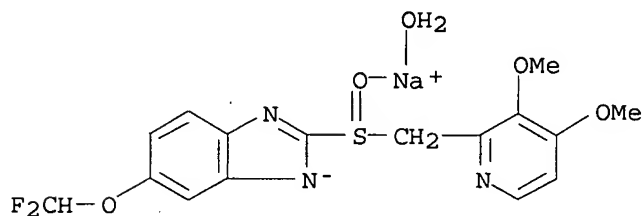
CN Sodium, aqua[5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl-κO]benzimidazolato]-, compd. with 2-propanone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 797789-88-9

CMF C16 H16 F2 N3 Na O5 S

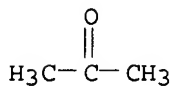
CCI CCS



CM 2

CRN 67-64-1

CMF C3 H6 O



RN 797789-90-3 CAPLUS

CN Sodium, aqua[5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl-κO]benzimidazolato]-, compd. with methyl acetate (1:1) (9CI) (CA INDEX NAME)

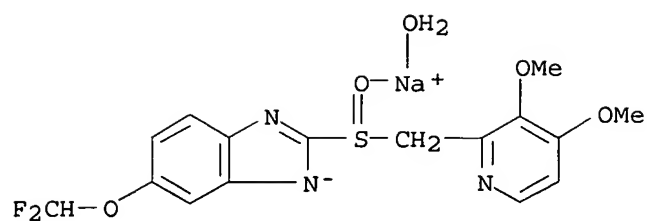
CM 1

CRN 797789-88-9

CMF C16 H16 F2 N3 Na O5 S

CCI CCS

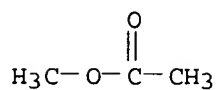
10/799,376



CM 2

CRN 79-20-9

CMF C3 H6 O2



RN 797789-91-4 CAPLUS

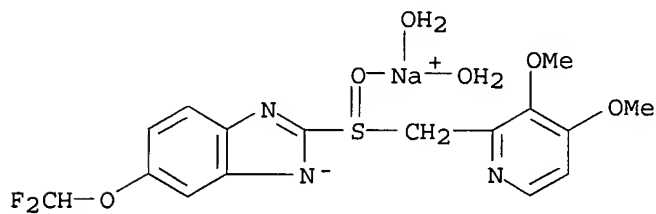
CN Sodium, diaqua[5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl-κO]benzimidazolato]-, compd. with 2-butanone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 797789-86-7

CMF C16 H18 F2 N3 Na O6 S

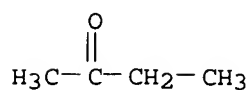
CCI CCS



CM 2

CRN 78-93-3

CMF C4 H8 O



RN 797789-92-5 CAPLUS

CN Sodium, aqua[5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl-κO]benzimidazolato]-, compd. with 3-pentanone (1:1) (9CI) (CA INDEX NAME)

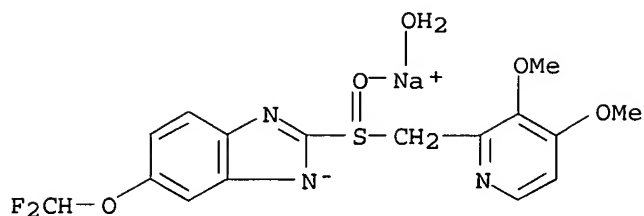
10/799,376

CM 1

CRN 797789-88-9

CMF C16 H16 F2 N3 Na O5 S

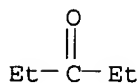
CCI CCS



CM 2

CRN 96-22-0

CMF C5 H10 O



L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:996158 CAPLUS

DN 141:415957

TI Novel polymorphs of pantoprazole sodium

IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

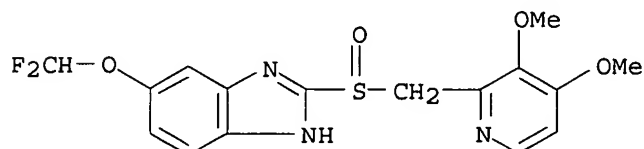
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099183	A1	20041118	WO 2003-IN177	20030506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			WO 2003-IN177	20030506

AB The present invention relates to novel polymorphs of pantoprazole sodium, to processes for their preparation and to pharmaceutical compns. containing them.

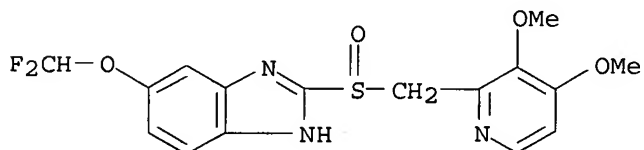
For example, form I of pantoprazole sodium was prepared by dissolving pantoprazole sodium 5.0 g in methanol 15 mL at 300C and adding diisopropyl ether 250 mL, stirring the mixture for 24 h at room temperature and filtering.

10/799,376

IT **102625-70-7**, Pantoprazole
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(novel polymorphic forms of pantoprazole sodium and the method of preparation)
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT **138786-67-1P**, Pantoprazole sodium
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(polymorphism; novel polymorphic forms of pantoprazole sodium and the method of preparation)
RN 138786-67-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:780663 CAPLUS
DN 141:301424
TI **Crystalline** and amorphous solids of pantoprazole and processes for their preparation
IN Finkelstein, Nina; Krochmal, Barnaba; Wize, Shlomit
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004080961	A2	20040923	WO 2004-US7662	20040312
	WO 2004080961	A3	20041216		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-453836P P 20030312
 US 2003-464358P P 20030422
 US 2004-799376 20040312
 US 2003-453836P P 20030312
 US 2003-464358P P 20030422

PATENT FAMILY INFORMATION:

FAN 2004:550950

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056804	A2	20040708	WO 2003-US40668	20031219
WO 2004056804	A3	20040805		
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			US 2002-434445P	P 20021219
			US 2003-453836P	P 20030312
US 2004177804	A1	20040916	US 2003-739272	20031219
			US 2002-434445P	P 20021219
			US 2003-453836P	P 20030312

AB Polymorphic forms of pantoprazole and processes of making then are described along with X-ray diffraction patterns.

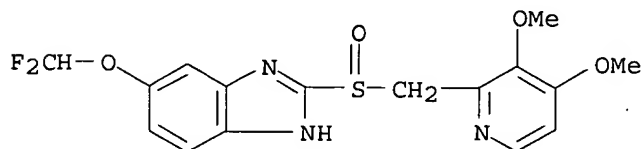
IT 102625-70-7P, Pantoprazole 138786-67-1P, Pantoprazole sodium 164579-32-2P, Pantoprazole sodium sesquihydrate

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline and amorphous solids of pantoprazole and processes for their preparation)

RN 102625-70-7 CAPLUS

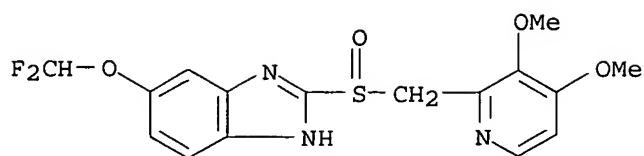
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 138786-67-1 CAPLUS

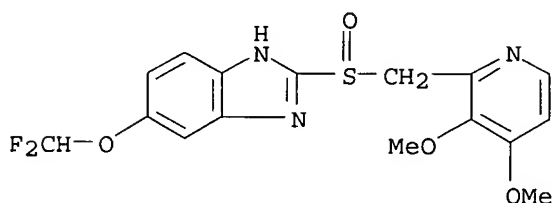
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

10/799,376



● Na

RN 164579-32-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)



● Na

● 3/2 H2O

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:780364 CAPLUS
DN 141:265937
TI Process for preparation of **crystalline** form-1 of pantoprazole sodium sesquihydrate
IN Reddy, Manne Satyanarayana; Eswaraiah, Sajja; Mathad, Vijayavithal Thippannachar; Anilkumar, Pondichetty; Chandrashekar, Elati Ravi Ram; Shanmugam, Govindan
PA Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SO U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004186139	A1	20040923	US 2003-653694 IN 2002-MA648	20030902 A 20020902

AB An improved process for making crystalline form-I of pantoprazole sodium sesquihydrate is provided. Pantoprazole free base (50 g) was dissolved in a solution of THF (350 mL) and aqueous sodium hydroxide solution (5.4 g dissolved in 10 mL of water), and stirred at a temperature of 25-35° till the clear solution results. The reaction solution was filtered and washed with THF.

10/799,376

Dichloromethane (400 mL) was added slowly to the filtrate over a period of about 1 h and stirred for about 5-6 h to **crystallize** the solid mass. The separated solid mass was cooled to a temperature of 5-10° and further stirred for about 2-3 h. The solid was filtered, washed with dichloromethane (2x25 mL) and suck dried under vacuum. The wet solid was suspended in dichloromethane (250 mL) and stirred for about 15-30 min. Then the solid was filtered and suck dried under vacuum and further dried at a temperature of 40-50° to afford crystalline form-I of pantoprazole sodium sesquihydrate.

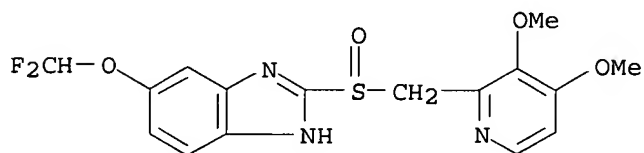
IT **102625-70-7**, Pantoprazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for preparation of crystalline form-1 of pantoprazole sodium sesquihydrate)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



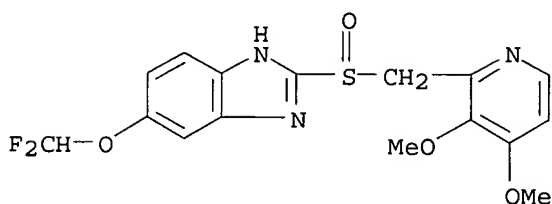
IT **164579-32-2P**, Pantoprazole sodium sesquihydrate

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparation of crystalline form-1 of pantoprazole sodium sesquihydrate)

RN 164579-32-2 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)



● Na

● 3/2 H₂O

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:550950 CAPLUS

DN 141:111542

TI Solid states of pantoprazole sodium, processes for preparing them and processes for preparing known pantoprazole sodium hydrates

IN Finkelstein, Nina; Wizel, Shlomit; Krochmel, Barnaba; Braude, Viviana

10/799,376

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056804	A2	20040708	WO 2003-US40668	20031219
	WO 2004056804	A3	20040805		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2002-434445P	P 20021219
				US 2003-453836P	P 20030312
	US 2004177804	A1	20040916	US 2003-739272	20031219
				US 2002-434445P	P 20021219
				US 2003-453836P	P 20030312

PATENT FAMILY INFORMATION:

FAN 2004:780663

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004080961	A2	20040923	WO 2004-US7662	20040312
	WO 2004080961	A3	20041216		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-453836P	P 20030312
				US 2003-464358P	P 20030422
	US 2004235904	A1	20041125	US 2004-799376	20040312
				US 2003-453836P	P 20030312
				US 2003-464358P	P 20030422

AB Crystalline pantoprazole sodium forms II, IV, V, VI, VIII, IX, X, XI, XII, XIII, XIV, XV, XVI, XVII, XVIII, XIX and XX, pantoprazole sodium solvates containing water, acetone, butanol, Me Et ketone, dimethylcarbonate, propanol and 2-methylpropanol, and amorphous pantoprazole sodium are disclosed. A method of treating gastroesophageal reflux disease comprising administering to a patient a pantoprazole sodium is claimed.

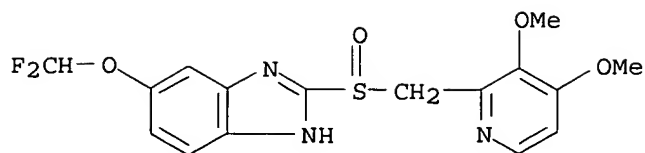
IT 102625-70-7, Pantoprazole

RL: RCT (Reactant); RACT (Reactant or reagent)
(of pantoprazole sodium and solvates thereof)

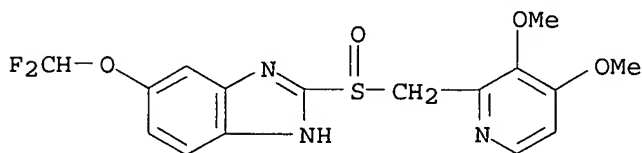
RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

10/799,376

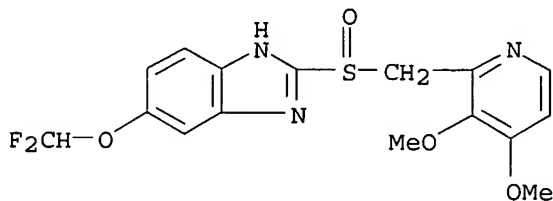


IT 138786-67-1P, Pantoprazole sodium salt 164579-32-2P
699002-47-6P 718635-00-8P 718635-02-0P
718635-04-2P 718635-06-4P 718635-07-5P
718635-08-6P 718635-09-7P 718635-10-0P
718635-11-1P 718635-12-2P 718635-13-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid states of pantoprazole sodium, processes for preparing them and
processes for preparing known pantoprazole sodium hydrates)
RN 138786-67-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-
pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 164579-32-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-
pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX
NAME)

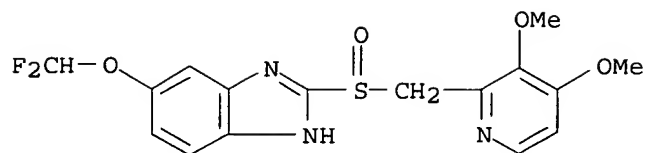


● Na

● 3/2 H₂O

RN 699002-47-6 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-
pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX
NAME)

10/799,376



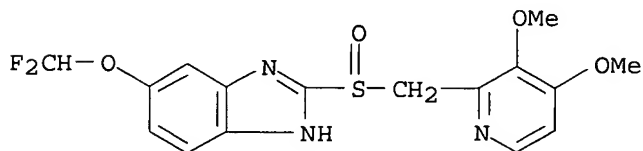
● Na

● H₂O

RN 718635-00-8 CAPLUS
CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

CM 1

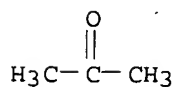
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

CRN 67-64-1
CMF C3 H6 O



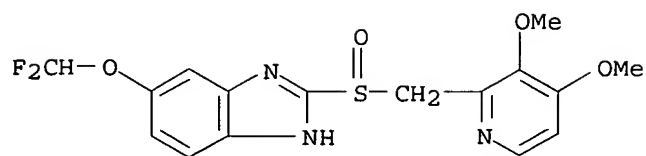
RN 718635-02-0 CAPLUS
CN 1-Butanol, compd. with 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 102625-70-7

10/799,376

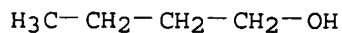
CMF C16 H15 F2 N3 O4 S



CM 2

CRN 71-36-3

CMF C4 H10 O



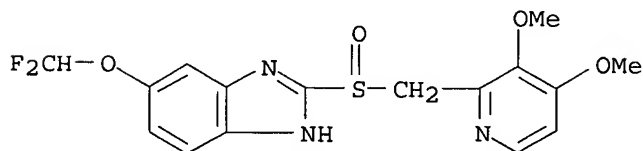
RN 718635-04-2 CAPLUS

CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

CM 1

CRN 138786-67-1

CMF C16 H15 F2 N3 O4 S . Na

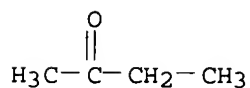


● Na

CM 2

CRN 78-93-3

CMF C4 H8 O



RN 718635-06-4 CAPLUS

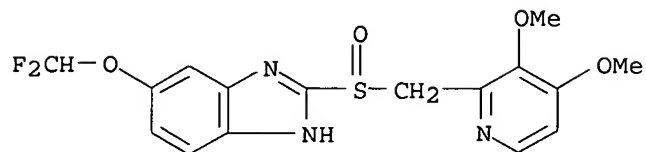
CN Carbonic acid, dimethyl ester, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

CM 1

10/799,376

CRN 138786-67-1

CMF C16 H15 F2 N3 O4 S . Na

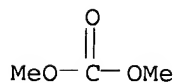


● Na

CM 2

CRN 616-38-6

CMF C3 H6 O3



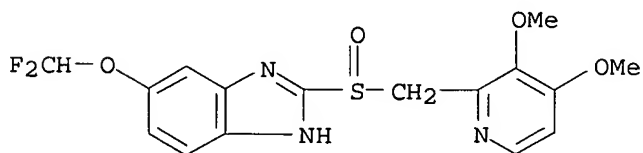
RN 718635-07-5 CAPLUS

CN 1-Propanol, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 102625-70-7

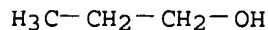
CMF C16 H15 F2 N3 O4 S



CM 2

CRN 71-23-8

CMF C3 H8 O



RN 718635-08-6 CAPLUS

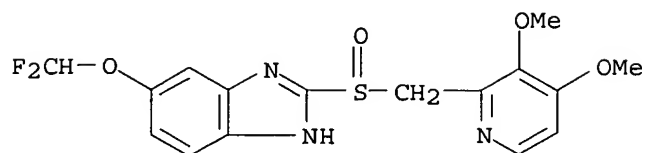
CN 1-Propanol, 2-methyl-, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

10/799,376

CM 1

CRN 102625-70-7

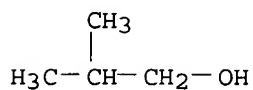
CMF C16 H15 F2 N3 O4 S



CM 2

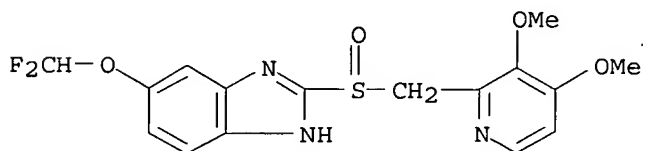
CRN 78-83-1

CMF C4 H10 O



RN 718635-09-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (9CI) (CA INDEX NAME)



● Na

●x H₂O

RN 718635-10-0 CAPLUS

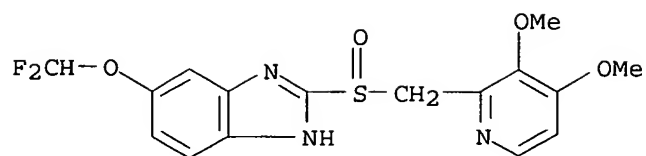
CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 138786-67-1

CMF C16 H15 F2 N3 O4 S . Na

10/799,376

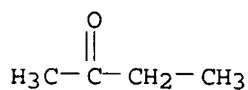


● Na

CM 2

CRN 78-93-3

CMF C4 H8 O



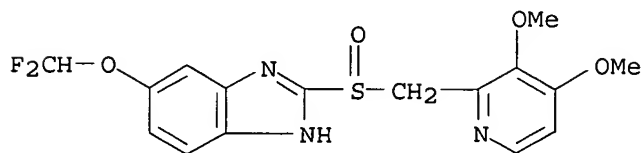
RN 718635-11-1 CAPLUS

CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI)
(CA INDEX NAME)

CM 1

CRN 138786-67-1

CMF C16 H15 F2 N3 O4 S . Na

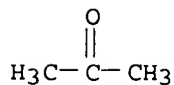


● Na

CM 2

CRN 67-64-1

CMF C3 H6 O

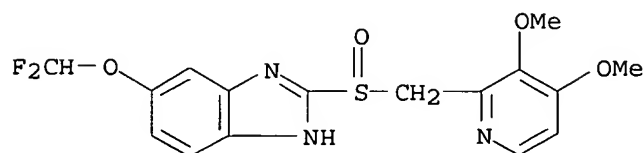


RN 718635-12-2 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-

10/799,376

pyridinyl)methyl]sulfinyl]-, sodium salt, dihydrate (9CI) (CA INDEX NAME)

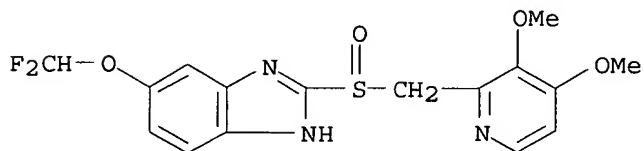


● Na

● 2 H₂O

RN 718635-13-3 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, trihydrate (9CI) (CA INDEX NAME)



● Na

● 3 H₂O

L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:525965 CAPLUS

DN 141:76745

TI Method for the preparation of coated drugs and dietary supplements that include substances with a concentration gradient in the coating

IN Petereit, Hans-Ulrich; Meier, Christian; Roth, Erna

PA Roehm GmbH & Co. Kg, Germany

SO Ger. Offen., 14 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10260919	A1	20040701	DE 2002-10260919	20021220
	WO 2004058225	A1	20040715	WO 2003-EP11540	20031018
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,				

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
 TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2002-10260919 A 20021220

AB The invention concerns the preparation of coatings for drugs and dietary supplements in a way that the concentration of the coating ingredients decrease or increase from the inner side of the coating to the outer side; the concentration gradient is achieved by spraying the components in form of solns. or dispersions from two or more nozzles; the components mix with each other during spraying and after evaporation a film is formed around the core. Cores are drug **crystals**, tablets, granules, pellets etc.

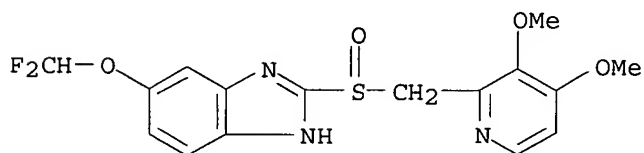
Acid-sensitive substances can be coated with (meth)acrylate copolymers containing anionic groups in a way that the layers close to the cores contain neutralized anionic groups or a base; the outer layers contain increasing amts. of non-neutralized polymer or decreasing amts. of base. Similarly, base- or dye-sensitive substances can be coated by avoiding the critical component next to the core and increasing its concentration to the outer layer. Thus a first spraying fluid contained (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; water 1050. The second spraying fluid included (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; pigment suspension 750; water 300. The pigment suspension was composed of (g): talc 100; titanium dioxide 50; color pigment 50; polyethylene glycol 6000 50; trisodium acetate citrate 5.5 hydrate 62; antifoaming agent 1; water 687.

IT 102625-70-7, Pantoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (acid-sensitive, coating of; method for preparation of coated drugs and dietary supplements that include substances with a concentration gradient in coating)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:515505 CAPLUS

DN 141:71546

TI Process for preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and 2-(2-pyridylmethylsulfinyl)-1H-imidazo[4,5-b]pyridine as proton pump inhibitors (PPI)

IN Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PA Altana Pharma Ag, Germany

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2004052882 A1 20040624 WO 2003-EP13605 20031203
 W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN,
 IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US,
 VN, YU, ZA, ZW
 RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
 DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
 SI, SK, TR

EP 2002-27273 A 20021206

DE 2003-10340255 A 20030829

AB Described is a process for preparing optically pure PPI having a sulfinyl structure in enantiomerically pure or enantiomerically enriched form by oxidation of the corresponding sulfides in the presence of a chiral zirconium or hafnium complex. Thus, 20.2 g 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole together with 17.9 g di-Et (+)-tartrate, 13.4 g zirconium(IV) isopropoxide/isopropanol complex and 0.1 mL H₂O were suspended in 100 mL Me iso-Bu ketone and heated at 40° for one hour to give an almost clear solution. After cooling to room temperature, 4.1 mL N-ethyldiisopropylamine was added, followed by slowly metering 11 mL cumene hydroperoxide, and the mixture was stirred at room temperature until the oxidation process to give, after workup,

(-)-pantoprazole as

a beige powder of m.p. 145° (decomposition) and an optical purity of >95%. After recrystn. from isopropanol, a clear **crystal** of m.p. 147-149° (decomposition) with an optical rotation of a D₂₀ = -140° (c = 0.5, MeOH) was obtained.

IT 142678-35-1P 142706-18-1P

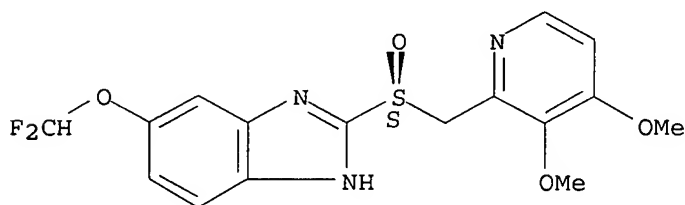
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 142678-35-1 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

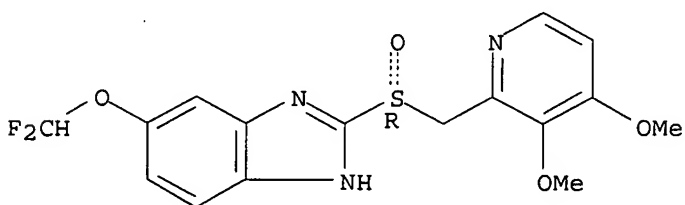
Absolute stereochemistry. Rotation (-).



RN 142706-18-1 CAPLUS

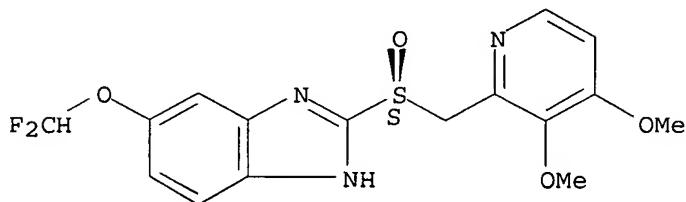
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:45734 CAPLUS
 DN 140:228342
 TI Conformer- and Alignment-Independent Model for Predicting Structurally Diverse Competitive CYP2C9 Inhibitors
 AU Afzelius, Lovisa; Zamora, Ismael; Masimirembwa, Collen M.; Karlen, Anders; Andersson, Tommy B.; Mecucci, Silvio; Baroni, Massimo; Cruciani, Gabriele
 CS DMPK and Bioanalytical Chemistry, AstraZeneca R&D, Moelndal, S-431 83, Swed.
 SO Journal of Medicinal Chemistry (2004), 47(4), 907-914
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB A conformer- and alignment-independent three-dimensional structure-activity relationship (3D-QSAR) model has been derived that is based on flexible mol. interaction fields calculated in GRID and the subsequent description of these fields by use of alignment-independent descriptors derived in ALMOND. The training set consisted of 22 diverse and flexible competitive inhibitors of the drug-metabolizing enzyme CYP2C9 and generated a model with r^2 of 0.81 and q^2 of 0.62. The predictive capacity of the model was externally evaluated with a test set of 12 competitive inhibitors and 11 out of 12 were predicted within 0.5 log unit. The most relevant points of interaction in the model correlated well to the amino acids involved in CYP2C9-substrate/inhibitor binding in the active site of a CYP2C9 homol. model, further validating the mechanistic sense of our model. This approach offers the possibility to derive predictive 3D-QSAR models without the need for an alignment rule for chemical diverse ligands and in the absence of target protein crystal structure information.
 IT 142678-35-1 142706-18-1
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (conformer- and alignment-independent model for predicting structurally diverse competitive CYP2C9 inhibitors)
 RN 142678-35-1 CAPLUS
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

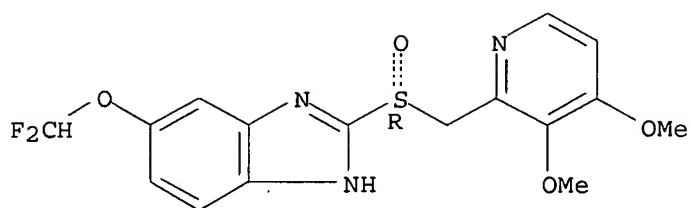
Absolute stereochemistry. Rotation (-).



RN 142706-18-1 CAPLUS
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

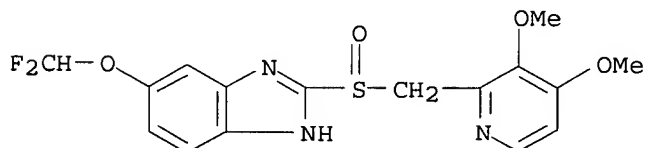
10/799,376



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

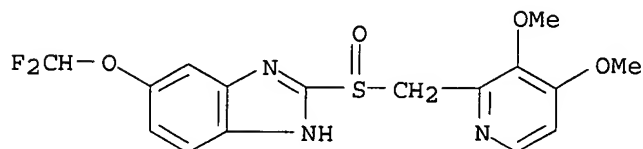
L5 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:826993 CAPLUS
DN 141:28358
TI Pantoprazole intermediate - PFBS **crystal** forms
AU Anon.
CS USA
SO IP.com Journal (2003), 3(8), 5 (No. IPCOM000016610D), 3 Jul 2003
CODEN: IJPOBX; ISSN: 1533-0001
PB IP.com, Inc.
DT Journal; Patent
LA English
PATENT NO. KIND DATE APPLICATION NO. DATE

PI IP 16610D 20030703
PRAI IP 2003-16610D 20030703
AB 5-Difluoromethoxy-2-[[3,4-dimethoxy-2-pyridinyl)methylthiol]-1H
benzimidazole (PFBS) is used as intermediate in the preparation of
pantoprazole. Various samples of PFBS were analyzed by x-ray powder
diffraction and found to contain different **crystal** forms (A, B,
C).
IT 102625-70-7, Pantoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pantoprazole intermediate-PFBS **crystal** forms)
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:610242 CAPLUS
DN 139:154933
TI Transmucosal delivery of proton pump inhibitors
IN Widder, Ken; Hall, Warren; Olmstead, Kay
PA Santarus, Inc., USA
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003063840 A2 20030807 WO 2003-US2659 20030127
 WO 2003063840 A3 20030904
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2002-351909P P 20020125
 US 2002-374761P P 20020422
 US 2004006111 A1 20040108 US 2003-353143 20030127
 US 2002-351909P P 20020125
 US 2002-374761P P 20020422
 EP 1469839 A2 20041027 EP 2003-705972 20030127
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 US 2002-351909P P 20020125
 US 2002-374761P P 20020422
 WO 2003-US2659 W 20030127
 AB The present invention relates to pharmaceutical compns. and methods for
 transmucosal delivery of proton pump inhibitors. In one embodiment, the
 pharmaceutical composition of the present invention comprises a core which
 comprises an antacid, and an outer layer surrounding the core. The outer
 layer contains a therapeutically effective amount of a proton pump
 inhibitor. In another embodiment, the pharmaceutical composition of the
 present invention comprises an outer layer which comprising a
 unidirectional film, and an inner layer which contains a therapeutically
 effective amount of a proton pump inhibitor. In yet another embodiment, the
 pharmaceutical composition of the present invention is a unidirectional tablet
 for delivery of a proton pump inhibitor across the oral mucosa. In this
 embodiment, the pharmaceutical composition contains an outer layer which
 contains a pharmaceutically acceptable water impermeable layer, and an
 inner layer which contains a therapeutically effective amount of a proton
 pump inhibitor. A tablet composition contained in the outer layer; Klucel EXP
 10, dicalcium phosphate 10, MgCO₃-90S 20, FD&C Lake Red Number 0.1, and
 Compitol-888 1 mg/tablet; the inner layer comprised omeprazole 20,
 MgCO₃-90S 20, Klucel EXP 10, and Mg stearate 0.6 mg/tablet.
 IT 102625-70-7, Pantoprazole
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (transmucosal delivery of proton pump inhibitors)
 RN 102625-70-7 CAPLUS
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



10/799,376

TI Easy to swallow oral medicament composition
IN Gruber, Peter
PA Losan Pharma G.m.b.H., Germany; Gruber, Peter
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DT Patent
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9806385	A1	19980219	WO 1997-CH299	19970814
	W: AU, BG, BR, CA, CN, CZ, HU, JP, NO, PL, RO, RU, SI, SK, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2262595	AA	19980219	CH 1996-2006	A 19960815
				CA 1997-2262595	19970814
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	AU 9736912	A1	19980306	AU 1997-36912	19970814
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	EP 918513	A1	19990602	EP 1997-933611	19970814
	EP 918513	B1	20001206		
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				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	JP 2000516222	T2	20001205	JP 1998-509262	19970814
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	AT 197900	E	20001215	AT 1997-933611	19970814
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	US 2002068088	A1	20020606	US 1999-242167	19990210
	US 6709678	B2	20040323		
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
	US 2004247675	A1	20041209	US 2003-706128	20031112
				CH 1996-2006	A 19960815
				WO 1997-CH299	W 19970814
				US 1999-242167	A1 19990210

AB An easy-to-swallow pharmaceutical composition consists of ≥ 1 coated particles with a core which contains an active substance and a coat with ≥ 1 layers. The coating layer(s) contains ≥ 1 hydratable, pharmaceutically acceptable polymer which, on contact with saliva or water, forms a coherent, moldable, viscous mass with a slippery surface which does not adhere to the mucous membranes of the mouth, and which prevents the active substance-containing particles from leaving the mass and releasing the active substance in the mouth cavity. The (outermost) coating layer contains ≥ 1 salivation-promoting agent. The properties of the coating make the composition suitable for administering highly dosed or bad-tasting active substances and even for swallowing without any liquid. Thus, a solution of ciprofloxacin 2000, Crospovidone XL-M 110, PVP K90 60, water 900, and EtOH 1800 g was spray-coated onto sucrose crystals 0.3-0.6 mm in diameter to produce core particles, which were then coated first with a powdered mixture of NaCl 50, Na saccharin 50, and Na carboxymethylstarch 50 g, and finally [after moistening with EtOH-H₂O (1:1)] with a powdered mixture of Na CM-cellulose 275 and talc 75 g.

IT 102625-70-7, Pantoprazole

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(easy-to-swallow oral medicament composition)